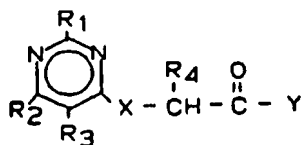


Publication number: DE3807532 A1
Publication date: 1989-09-21
Inventor: GIENCKE WOLFGANG DR (DE); SCHLEGEL GUENTER DR (DE); BIERINGER HERMANN DR (DE); BAUER KLAUS DR (DE)
Applicant: HOECHST AG (DE)
Application number: DE19883807532 19880308
Priority number(s): DE19883807532 19880308

Pyrimidine carboxylic acids, procedures for the production and their use as herbicides

Compounds of the formula I or their salts, where



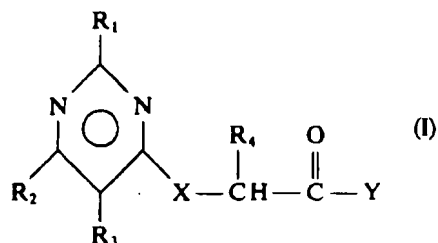
R1 is halo alkyl; R2 is hydrogen, halogen, Cyano, (subst.) alkyl, alkenyl, Alkynyl, Alkoxy, Alkylthio, alkyl sulphonyl, (subst.) Cycloalkyl, (subst.) Phenoxy; R3 is hydrogen, alkyl, Alkoxy, halogen or Cyano; R4 is hydrogen, alkyl or Alkoxy; XO, S or NR5; and Y is a radical – NR5R6 or - of OR8, possess favorable herbicidal characteristics; they are outstandingly suitable for combating weeds in crops of useful plants.

Description

From EP-A212969 Pyrimidinyloxyalkanamide with herbicides characteristics are well-known.

It was now found that Pyrimidine carboxylic acid derivatives, which carry special halo alkyl radicals in the Pyrimidine part exhibit a high selectivity with your application, and therefore for the application as herbicides in the agriculture is particularly suitable.

The subject of the available invention are therefore compounds of the formula I or their salts,



where

R₁ (C₁-C₈)-Haloalkyl;

R₂ Hydrogen, Halogen, Cyane, (C₁ -C₄)-Alkyl, can be substituted one or several times through Halogen, Nitro, Cyane, (C₁-C₄)-Alkoxy, (C₁-C₄)-Alkylthio or -NR₅R₆; (C₂-C₄)-Alkenyl, (C₂-C₄)-Alkynyl, (C₁ -C₄)-Alkoxy, (C₁-C₄)-Alkylthio, (C₁-C₄)-Alkylsulfonyl, (C₃-C₈)-Cycloalkyl, through (C₁-C₄)-Alkyl; Phenoxy, which if necessary in or several times by halogen, NO₂ (C₁-C₄-Alkyl, (C₁-C₄) - halo alkyl or (C₁-C₄) - Alkoxy is substituted;

R₃ Hydrogen, (C₁ -C₄)-Alkyl, (C₁-C₄)-Alkoxy, Halogen or Cyano;

R₄ Hydrogen, (C₁ -C₄)-Alkyl or (C₁ -C₄)-Alkoxy;

X O, S or NR₅;

Y a radical - NR₅R₆ or - OR₈;

R₅ Hydrogen or (C₁-C₄)-Alkyl;

R₆ (C₁ -C₄)-Alkyl, CH₂R₇, Phenyl or Naphthyl, both if necessary in or several times by halogen, NO₂, (C₁ -C₄) - alkyl, (C₁ -C₄) - Alkoxy, Cyano or (C₁ -C₄) - Alkylthio can be substituted;

R₇ Phenyl, that if necessary in or several times by halogen, NO₂, (C₁-C₄) - alkyl, (C₁-C₄) - halo alkyl, (C₁-C₄) - Alkoxy, (C₁-C₄) - Alkylthio or Cyano is substituted, (C₃-C₈) - Cycloalkyl, which if necessary in or several times through (C₁-C₄) - alkyl to be substituted, Furyl, Thienyl, Pyridyl or Pyrimidinyl, whereby these radicals if necessary in each case by halogen, (C₁-C₄) - halo alkyl or (C₁-C₄) - to be substituted alkyl; and

R8 hydrogen or (C1 - C4) - alkyl

it means, under the condition that those compounds of the formula I, where R1 is CF3, X oxygen and R6; - CH2R7, are excluded.

Those compounds of the formula I, where Y is Hydroxy, can form salts, with which the hydrogen is replaced by a cation suitable for the agriculture. These salts are generally metal, in particular alkali, alkaline-earth, if necessary alkylated ammonium or amine salts. Halogen is in particular fluorine, chlorine or bromine. The prefix "halo" in the designation of a substituent means here and in the following that this substituent can occur simply or several times with same or different meanings. The prefix halo contains fluorine, chlorine, bromine and iodine, in particular fluorine, chlorine or bromine. As examples of halo alkyl are mentioned:

CCl3, CHCl2, CH2Cl, CBr3, CF2Cl, CClF2, CF2CHF2, CF2CF3, CF2CHF2CF3, CF2CHClF, CF2CHCl2, CCl2CCl3, n-C3F7, -CH(CF3)2, n-C4F9, n-C5-F11, n-C6F13, n-C7F15 and n-C8F17.

Halogen stands in particular for chlorine and fluorine.

Preferential compounds of the formula I are such, with those

G 1 (C1-C8) - halo alkyl, in particular the radicals - CCl3, - CF2CHF2 or - CF2CF3; R2 (C1-C4) - alkyl, (C1-C4) - halo alkyl or (C3-C8) - Cycloalkyl;

R3 hydrogen, (C1-C4) - alkyl or halogen;

R, hydrogen, (C1 - C4) - alkyl or (C1-C4) - Alkoxy; XO or S;

Y NR5R6 or OR8;

R5 hydrogen or (C1-C4) - alkyl;

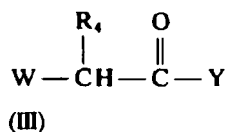
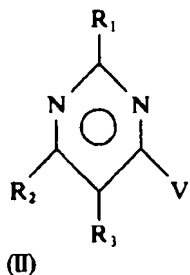
R6-CH2R7, Phenyl, one or several times can be substituted by halogen, NO2, (C1-C4) - alkyl, (C1-C4) - halo alkyl, (C1-C4) - Alkoxy or (C1-C4) - Alkylthio;

R7 Phenyl, one or several times can be substituted by halogen, NO2, (C1-C4) - alkyl, (C1-C4) - halo alkyl, (C1-C4) - Alkoxy, (C1-C4) - Alkylthio or Cyano;

R8 hydrogen or (C1-C4) - alkyl

it means, under the condition that those compounds of the formula I, where R1 CF3, X oxygen and R6; CH2R7 are excluded.

The subject of the available invention is also a procedure for the production of the compounds of the formula I, characterized by the fact that one a compound of the formula II in presence of a base with a compound



the formula converts III.

The substituents R₁ R₂, R₃, R, and Y have the meanings as in the formula I, V and W stand for halogen, Hydroxy, a group of NHR₅ or SH, whereby if W stands for halogen, V Hydroxy, a group of NHR₅ or SH must mean and if V stands for halogen, W Hydroxy must mean, a group of NHR₅ or SH. The radical of R, has the meaning indicated in formula I. Halogen here fluorine, chlorine, bromine or iodine, in particular chlorine or bromine represents.

The conversion of the compounds of the formula II and III preferably takes place in inert aprotic solvents such as z. B. Acetonitril, Dichlormethane, Toluol, Xylol, Tetrahydrofuran, Dioxane, Dialkylether as Diethylen glycol more dialkylether, in particular Diethylen glycoldimethylether, or dimethylformamide at temperatures between -10°C and the boiling temperature of the solvent. As Bases the Bases usual for this type of reaction is suitable as for example carbonates and hydrogencarbonates of alkali or alkaline earth metals, alkali hydroxides, alkali alcoholates like e.g. K-tert.- butylat, tert. amines, Pyridin or substituted Pyridine bases (e.g. 4-Dimethylaminopyridine).

During the conversion of the compounds of the formulas the use of phase transfer catalysts proved II and III as very favourable. Particularly for the case that V stands for Hydroxy, a group of NHR₅ or SH and W for halogen it is strongly shortened, in presence of a phase transfer catalyst the response time and improved the yields substantially. As phase transfer catalysts for example quart acres ammonium and Phosphonium salt, Kronenether and Kryptanden are suitable like them in W.E. Cellar, phase transfer Reactions, volume. I, 11; 1985, 1986; George Thieme publishing house Stuttgart is described.

The compounds of the formula II can be synthesized in principle well-known procedures (see US-PS4343803, DOS3644799). The compounds of the formula III are to a large extent admit and according to usual methods easily accessible (see J. to. Chem. Soc. 70,677; Chem. reports 70, 1836; Chem. reports 87, 537; Chem. reports 31.3236).

The compounds according to invention of the formula I exhibit an excellent of herbicides effectiveness against a broad spectrum of economically more importantly mono and dikotyler harming plants. Also with difficulty fightable perennial weeds, which drive out from Rhizomes, root strands or other continuous organs, are seized by the active substances well, unimportant it whether the substances in the Vorsaats, Voraufbau or Nachaufbau procedure are yielded. In detail exemplarily some representatives are mono and dikotylen Unkrautflora mentioned, which can be controlled by the compounds according to invention, without via the denomination a restriction in certain species is to take place.

On the side of the monokotylen weeds species e.g. become. Avena, Kolium, Alopecurus, Phalaris, Echinochloa, Digitaria, Setaria etc., as well as Cyperusarten from the annuellen group and on sides of the perennial species Agropyron, Cynodon, Imperata as well as Sorghum etc. and also persistent

Cyperusarten well seizes.

With dikotylen weeds species the action spectrum extends to Sinapis, Ipomoea, Matricaria, Abutilon, Sida etc., to the annuellen side as well as Convolvulus, Cirsium, Rumex, Artemisia etc. with perennial weeds.

On the specific culture conditions in the rice occurring weeds like e.g. Sagittaria, Alisma, Eleocharis, Scirpus, Cyperus etc., are likewise outstanding fought against the active substances according to invention.

If the compounds according to invention before germinating are applied on the earth's surface, then either running out the wee germ buds are completely prevented, or weeds grow up to the germ leaf stage, stop however then their growth and die finally at expiration from three to four weeks perfectly.

With application of the active substances on the green plant parts in the Nachauflauf procedure likewise very rapidly a drastic growth stop occurs after the treatment, and the weeds plants remain dying in at the application time the existing stature stage or after a certain time more or less fast off, so that a weeds competition harmful for the cultivated plants can be eliminated in this way very early and lastingly by the employment of the new means according to invention.

Although the compounds according to invention exhibit an excellent of herbicides activity opposite mono - and dikotylen weeds, cultivated plants of economically meaning cultures become like e.g. Wheat, barley, rye, rice, corn, sugar beet, cotton and Soja only insignificantly or not at all damaged. The available compounds are suitable for these reasons very well for the selective fight against unwanted plant stature in agricultural utilizable planting.

The means according to invention can be used as wettable powder, emulsive concentrates, emulsions, sprayable solutions, types of dust means, cleaners, dispersions, granulates, micro granulates or ULV formulations in the usual preparing.

The species of the formulation which can be used preferred in each case determines the biological and/or chemico-physical parameters of the respective active substance.

wettable powder are in water evenly dispersable preparations, which polyoxethylierte beside the active substance except if necessary a dilution or an inert material still wetting agent, z. B. alkyl phenol, polyoxethylierte Fettalkohole, alkyl or Alkylphenylsulfonate and dispersing agent, e.g. lignin-sulfone-acid sodium, 2,2' - dinaphthylmethan-6,6' disulfonic acid sodium, dibutyl-naphthalinsulfonic acid sodium or also oleoilylmethyltaurin acid sodium contain. The production takes place in usual way, e.g. through meals and mixing of the components.

Emulsive concentrates can e.g. by dissolving the active substance in an inert organic solvent, e.g. Butanol, Cyclohexanone, Dimethylformamide, xylene or aromatics or hydrocarbons also high-simmering under additive of one or more emulsifying agents to be manufactured. With liquid active substances the solvent particle can be void also totally or partly. As emulsifying agents can be for example used: Alkyl aryl-sulfone-acid calcium salts as or nonionic emulsifying agents such as fatty acid polyglykolester, alkyl more arylpolyglykolether, fattyalcoholpolyglykolether, propylene oxide ethylenoxid eof condensation products, F approx.-dodecylbenzolsulfonate ettalkohol propylene oxide ethylenoxid of condensation products. Alkyl polyglykoleth it, Sorbitan fatty acid ester, Polyoxethylensorbitanfatty acid

ester or Polyoxethylensorbitester.

One knows types of dust means through meals of the active substance with finely divided. solid materials e.g. Talcum powder, natural toning such as kaolin, Bentoniet, Pyrophilliet or Diatomeenerde maintain.

Granulates can be manufactured either by nozzles of the active substance on adsorptionable, granulated inert material or by applying active substance concentrations by means of bonding agents, e.g. Polyvinyl alcohol, polyacrylic acid sodium or also mineral oils on the surface by carrier materials such as sand, Kaolinite or inert material granulated by. Also suitable active substances can be granulated in the way, in mixture with fertilizers, usual for the production of fertilizer granulates.

In wettable powder the active substance concentration amounts to e.g. about 10 to 90 thread %, the radical to 100 thread % consists of usual formulation constituents. With emulsive concentrates the active substance concentration can amount to about 5 to 80 thread %. Powdery formulations contained mostly 5 to 20 thread %, spray cash solutions about 2 to 20 thread %. With granulates the active substance content depends partially on whether the effective compound is present liquid or firmly and which granulation aids, fillers etc. are used.

Besides the active formulations mentioned contains if necessary usual in each case adhesion, dispersion, emulsifying, penetration, solvents, filling or carrier materials.

These specified above formulation types for example described in: Winnacker Küchler, "chemical technology", volume 7, e. Houses publishing house Munich, 4. Aufl. 1986; van Falkenberg, "Pesticides Formulations", Marcel Dekker N.Y., 2nd OD. 1972-73; K. Martens, "spray Drying Handbook", 3rd OD. 1979, G. Goodwin Ltd. London.

The formulation aids which can be used for these formulations (inert materials, emulsifying agents, wetting agent, Tenside, solvent etc.) are for example in marches, "solvency Guide", 2nd OD, Interscience, N.Y. 1950; McCutcheon's, "Detergents and Emulsifiers Annual", MC publication. Corp., Ridgewood N.}; Sisley and Wood or "Encyclopedia OF Surface Active Agents", chem. publications. Cost Inc., N.Y. 1964 described.

Diluted for application the concentrates available in commercial form if necessary in usual way, e.g. with wettable powder, emulsive concentrates, dispersions and partly also with micro granulates by means of water. Powdery and granulated preparing as well as spray cash solutions before application no more with further inert materials are usually diluted.

With the outside conditions such as temperature, humidity varies the necessary expenditure quantity among other things.

It can vary within far borders, e.g. between 0,005 and 10,0 kg/hectars or more active ingredient, preferably lies it however between 0,01 and 5 kg/hectars.

Also mixtures or formulations of mixing with other active substances, like z. B. incecicides, Akariziden, Herbicides, fertilizers, growth regulators or Fungicides are if necessary possible.

The invention is more near described by following examples.

Formulation examples

A. A type of dust means is maintained, by mixing 10 parts by weight active substance and 90 parts by weight talcum powder or inert material and in a beater mill cut up.

B., A wettable powder easily dispersible in water is maintained, by mixing and in a pinned disc mill grinding 25 parts by weight active substance, 64 parts by weight kaolin containing quartz from inert material, 10 parts by weight lignin-sulfone-acid potassium and 1 part by weight oleoylmethyltaurin acids sodium as net and dispersing agent.

C. a dispersion concentrate easily dispersible in water is maintained, by mixing 20 parts by weight active substance with 6 parts by weight Alkylphenolpolyglykolether (@Triton X 207), 3 parts by weight Isotridecanolpolyglykolether (8 EO) and 71 parts by weight paraffinic mineral oil (boiling range z, B. approx. 255 to over 377°C) and in a friction ball mill on a refinement ground of under 5 Micron.

D. an emulsive concentrate maintained from 15 parts by weight active substances, 75 parts by weight Cyclohexanone as solvents and 10 parts by weight of oxethylated Nonylphenol (10 EO) as emulsifying agent.

Example 123 (S. table 1)

1 (5-Chlor of 2 - tri chlorine methyl pyrimidin - 4 - yloxy) - propane acid ethyl esters

To a solution of 9,33 g (0.035 mol) 4,5-Dfchlor-2-trichlormethyl-pyrimidin and 4.13 g (0.035 mol) 2-Hydroxypropansäureethylester in 150 ml toluol adds one 24.15 g (0.175 mol) K₂CO and a spatula point tri ethyl benzyle ammonium chloride. The mixture is heated up 6 h to the reflux. After cooling the unsolvable constituents are sucked off and the filtrate in the vacuum is evaporated. The substance results as tough oil.

Yield: 11.37 g ~ 93.3% D, Th.

NMR(CDCI₃): δ8,6(s,1H);5,4(q, 7=7 Hz, 1H); 4,2 (q, 7=7 Hz,2H); 1,8(d, 7=7 Hz,3H); 1,3(t, 7=7 Cycles per second, 3H).

Example 308 (S. table 1)

2 - [5-Chlor 2 (1.1.2.3.3.3 - hexafluorpropyl) - pyrimidin - 4 - yloxy] - butane acid benzyle amide

To a solution of 5,61 g (0.02 mol) 5-Chlor-2 (1, 1, 2,3,3,3-hexafluorpropyl) - 4-hydroxy-pyrimidin and 5.12 g (0.02 mol) 2-Brombutansäurebenzylamid in 80 ml acetonitrile adds one 4.14 g (0.03 mol) potassium carbonate and a spatula point tri ethyl benzyle ammonium chloride. The mixture is heated up 10 h to the reflux. Afterwards one leaves on ambient temperature cooling, sucks the solid constituents off and evaporates the filtrate in the vacuum. The resulting solid is recrystallized from Diisopropylether.

Yield: 7.6 g ~ 83% D, Th.

Melting point: 118-120°C

Example 240 (S. table 1)

2 (4 - Methyl-2 (1, 1, 2,2-tetrafluorethyl) - pyrimidin-6-yloxy] - acetic acid N - methyl N - phenyl amide

To a solution from 4,2 g (0.02 mol) 4-Hydroxy-6-methyl-2 (1, 1, 2,2-tetrafluorethyl) - pyrimidin and 4.6 g (0.02 mol) Bromessigsäure n methyl N PHENYL amide in 90 ml acetonitrile one adds 4.14 g (0.03 mol) K₂CO₃ and a spatula point tri ethyl benzyle ammonium chloride and heats the reaction mixture up 6 h at the reflux. After cooling all solid constituents are sucked off and the filtrate in the vacuum is evaporated. The resulted solid is recrystallized from ethanol.

Yield: 6,3 g~88% D. Th.

Melting point:70-71°C.

Example 302 (S. table 1)

5. (5-Chlor 2 - tri fluorine methyl pyrimidin-4 yl] - mercaptoessigsäureethylester

6,51 g (0,03 mol) 4,5-Dichlor-2-trifluormethyl-pyrimidin und 3,6 g (0,03 mol) Thioglykolsäureethylester werden in 150 ml Ethanol gelöst. Es werden 2,96 g (0,03 mol) Natriumacetat hinzugefügt und der Ansatz 5 h bei Raumtemperatur gerührt. Anschließend werden die festen Bestandteile abgesaugt und das Filtrat im Vakuum eingedampft. Der anfallende Feststoff wird aus Diisopropylether umkristallisiert.

Yield: 6,67 g~ 74% D. Th.

Melting point: 88-89°C.

Example 139 (S. table 1)

N - (5 - bromine 2 - tri chlorine methyl pyrimidin - 4 - yl) - aminoessigsäureethylester

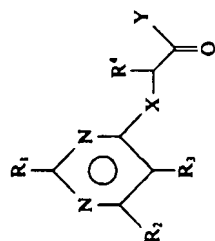
7.8 g (0.025 mol) 5-Brom-4-chlor-2-trichlormethyl-pyrimidin and 3.91 g (0.028 mol) glycine ethyl ester hydrochloride are solved in 90 ml acetonitrile. One adds 5.29 g (0.063 mol) NaOH and heats 3 up h to the reflux. After Abkühlurig all solid constituents are sucked off and the filtrate in the vacuum is evaporated. The developed solid is recrystallized from ethanol.

Yield: 8.8 g ~ 93% D. Th.

Melting point:95°C.

The following compounds listed in table 1 can be manufactured in accordance with the procedures described above. In table 1 the following abbreviations are used: Et=Ethyl Me=Methyl Bu=n-Butyl

Tabelle 1



Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
1	CCl ₃	Me	H	O	Et	NH—C ₆ H ₅	
2	CCl ₃	Me	H	O	Et	NHC ₆ H ₄ —4-Cl	
3	CCl ₃	Me	H	O	Et	NHC ₆ H ₃ —2,4-Cl ₂	
4	CCl ₃	Me	H	O	Et	NHC ₆ H ₃ —3,5-Cl ₂	
5	CCl ₃	Me	H	O	Et	NHC ₆ H ₄ —4-Me	
6	CCl ₃	Me	H	O	Et	NHC ₆ H ₃ —2,4-Me ₂	
7	CCl ₃	Me	H	O	Et	N(Me)XC ₆ H ₅	
8	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₅	83–87
9	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-Me	
10	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-Me	105–108
11	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-Me	
12	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-Cl	
13	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-Cl	
14	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-Cl	
15	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₃ —3,4-Cl ₂	
16	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-CF ₃	
17	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-CF ₃	

L	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
18	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-CF ₃	
19	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-Br	
20	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-Br	
21	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-OCH ₃	
22	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-OCH ₃	
23	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-OCH ₃	
24	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-NO ₂	
25	CCl ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-NO ₂	
26	CCl ₃	Me	H	O	Et	NHCH ₂ —Naphthyl	
27	CCl ₃	Me	H	O	Et	NHCH ₂ —2-Furyl	
28	CCl ₃	Me	H	O	Et	NHCH ₂ —2-Thienyl	
29	CCl ₃	Me	H	O	Et	NHCH ₂ —2-Pyridyl—3-Cl—4-CF ₃	
30	CCl ₃	Me	H	O	Et	NH—2-Benzimidazolyl	
31	CCl ₃	Me	H	O	Et	NHCH ₂ —3-Pyridyl	
32	CCl ₃	Me	H	O	Et	NHCH ₂ —2-Pyridyl	
33	CCl ₃	Me	H	O	Et	NHCH ₂ —4-Pyridyl	
34	CCl ₃	Me	H	O	Et	NHCH ₂ —6-Pyrimidinyl—4-Me—2-CCl ₃	
35	CCl ₃	Me	H	O	H	NHCH ₂ —C ₆ H ₅	90–92
36	CCl ₃	Me	H	O	H	N(Me)(C ₆ H ₅)	123–125
37	CCl ₃	Me	H	O	H	NHC ₆ H ₅	
38	CCl ₃	Me	H	O	H	N(Et)(C ₆ H ₅)	
39	CCl ₃	Me	H	O	H	OEi	
40	CCl ₃	Me	H	O	H	OMe	
41	CCl ₃	Me	H	O	H	OCH ₂ C ₆ H ₅	
42	CCl ₃	Me	H	O	Me	OEi	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
43	CCl ₃	Me	H	O	Et	OEi	
44	CCl ₃	Me	H	O	Me	OMe	
45	CCl ₃	Me	H	S	H	OEi	
46	CCl ₃	Me	H	S	H	OMe	
47	CCl ₃	Me	H	S	Et	OEi	
48	CCl ₃	Me	H	S	Et	OMe	
49	CCl ₃	Me	H	O	Et	NHCH ₂ (cyclo)C ₄ H ₉	
50	CCl ₃	Me	H	O	Et	NHCH ₂ (cyclo)C ₃ H ₇	
51	CCl ₃	Me	H	O	Et	NEt ₃	
52	CCl ₃	Me	H	O	Et	NMe ₂	
53	CCl ₃	Me	H	O	Et	NHMe	
54	CCl ₃	Me	H	O	Et	NHEt	
55	CCl ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉	
56	CCl ₃	Me	H	NH	H	OEi	75-78
57	CCl ₃	Me	H	NH	H	OMe	
58	CCl ₃	Me	H	NMe	H	Na	112-116
59	CCl ₃	Me	H	NMe	H	H	
60	CCl ₃	Me	H	NH	H	NHCH ₂ C ₄ H ₉	
61	CCl ₃	Me	H	O	OCH ₃	OCH ₃	
62	CCl ₃	Me	H	O	OEi	OCH ₃	
63	CCl ₃	Me	H	O	OCH ₃	OEi	
64	CCl ₃	Me	H	O	OCH ₃	OEi	
65	CCl ₃	Me	H	O	OCH ₃	NHCH ₂ C ₄ H ₉	
66	CCl ₃	Me	H	O	OCH ₃	N(Me)(C ₄ H ₉)	
67	CCl ₃	Me	H	S	Et	NHCH ₂ C ₄ H ₉	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
68	CCl ₃	Me	H	S	Et	N(Me)(C ₄ H ₉)	
69	CCl ₃	Me	H	S	Et	NHCH ₂ (cyclo)C ₄ H ₁₁	
70	CCl ₃	Me	H	S	Et	NHC ₄ H ₉	
71	CCl ₃	Me	H	O	Et	OH	
72	CCl ₃	Me	H	O	CH ₃	OH	
73	CCl ₃	Me	H	O	H	OH	
74	CCl ₃	(cyclo)C ₃ H ₇	H	O	Et	NHCH ₂ C ₄ H ₉	
75	CCl ₃	(cyclo)C ₄ H ₉	H	O	Et	NHCH ₂ C ₄ H ₉	
76	CCl ₃	(cyclo)C ₃ H ₁₁	H	O	Et	NHCH ₂ C ₄ H ₉	
77	CCl ₃	H	H	O	H	NHC ₄ H ₉	
78	CCl ₃	H	H	O	H	NHCH ₂ C ₄ H ₉	
79	CCl ₃	H	H	O	H	N(Me)(C ₄ H ₉)	
80	CCl ₃	H	H	O	H	NH—Naphthyl	
81	CCl ₃	H	H	O	H	NHCH ₂ —cyclo—C ₄ H ₁₁	
82	CCl ₃	H	H	O	C ₃ H ₇	NHC ₄ H ₉	
83	CCl ₃	H	H	O	C ₃ H ₇	NHCH ₂ C ₄ H ₉ —4-Cl	
84	CCl ₃	H	H	O	C ₃ H ₇	NHCH ₂ C ₄ H ₉	87-90
85	CCl ₃	H	H	O	C ₃ H ₇	NHCH ₂ C ₄ H ₉ —2,4-Me ₂	
86	CCl ₃	H	H	O	CH ₃	NHCH ₂ C ₄ H ₉	
87	CCl ₃	H	H	O	C ₃ H ₇	N(Me)C ₄ H ₉	
88	CCl ₃	H	H	O	n-C ₃ H ₇	N(Me)C ₄ H ₉	
89	CCl ₃	H	H	O	n-C ₃ H ₇	NHCH ₂ C ₄ H ₉	
90	CCl ₃	H	H	O	H	OCH ₃	Sirup
91	CCl ₃	H	H	O	H	OC ₂ H ₅	
92	CCl ₃	H	H	S	H	OCH ₃	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
93	CCl ₃	H	H	S	H	OC ₂ H ₅	Sirup
94	CCl ₃	H	H	S	C ₂ H ₅	O ₂ H ₅	
95	CCl ₃	H	H	NH	H	OEi	
96	CCl ₃	H	H	NH	H	OBu	
97	CCl ₃	Ei	H	O	H	NHEi	88–91 zähes Öl
98	CCl ₃	Ei	H	O	H	NHMe	
99	CCl ₃	Ei	H	O	Ei	NHPr	
100	CCl ₃	Ei	H	O	Ei	NHCH ₂ C ₄ H ₉	
101	CCl ₃	Ei	H	O	Ei	N(Me)(C ₄ H ₉)	
102	CCl ₃	Ei	H	O	Ei	NEt ₂	
103	CCl ₃	Ei	H	O	Ei	N(E)(C ₄ H ₉)	
104	CCl ₃	Ei	H	S	Ei	NHCH ₂ C ₄ H ₉	
105	CCl ₃	Ei	H	O	Ei	OMe	
106	CCl ₃	Ei	H	O	Ei	OPr	
107	CCl ₃	Ei	H	O	H	OMe	110–114
108	CCl ₃	Ei	H	O	H	OEi	
109	CCl ₃	Ei	H	S	H	OEi	
110	CCl ₃	Ei	H	O	Ei	NHCH ₂ —2-Furyl	
111	CCl ₃	Ei	H	O	OCH ₃	OCH ₃	
112	CCl ₃	Ei	H	O	OCH ₃	NHCH ₂ C ₄ H ₉	
113	CCl ₃	H	Cl	O	Ei	NHC ₄ H ₉	
114	CCl ₃	H	Cl	O	Ei	N(Me)(C ₄ H ₉)	
115	CCl ₃	H	Cl	O	H	N(Me)(C ₄ H ₉)	
116	CCl ₃	H	Cl	O	Ei	NHCH ₂ C ₄ H ₉	
117	CCl ₃	H	Cl	O	Ei	OEi	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
118	CCl ₃	H	Cl	O	Et	OMe	Sirup
119	CCl ₃	H	Cl	O	H	OMe	Sirup
120	CCl ₃	H	Cl	O	H	OBu	83-86
121	CCl ₃	H	Cl	S	H	OEt	
122	CCl ₃	H	Cl	S	H	OMe	
123	CCl ₃	H	Cl	O	CH ₃	OEt	Sirup
124	CCl ₃	H	Cl	NH	H	OEt	98-100
125	CCl ₃	H	Cl	NMe	H	OEt	
126	CCl ₃	H	Cl	NH	H	OBu	
127	CCl ₃	H	Br	O	H	OEt	
128	CCl ₃	H	Br	O	H	OMe	Sirup
129	CCl ₃	H	Br	O	Me	OEt	Sirup
130	CCl ₃	H	Br	O	Me	OMe	
131	CCl ₃	H	Br	O	Et	OEt	
132	CCl ₃	H	Br	O	Et	OMe	Öl
133	CCl ₃	H	Br	O	Et	NHC ₄ H ₉	
134	CCl ₃	H	Br	O	Et	NHCH ₂ C ₄ H ₉	
135	CCl ₃	H	Br	O	Et	N(Me)(C ₄ H ₉)	
136	CCl ₃	H	Br	S	H	OEt	92-94
137	CCl ₃	H	Br	S	Et	OEt	Öl
138	CCl ₃	H	Br	S	H	NHCH ₂ C ₄ H ₉	
139	CCl ₃	H	Br	NH	H	OEt	95
140	CCl ₃	H	Br	O	H	NHCH ₂ C ₄ H ₉	137-142
141	CCl ₃	Cl	H	O	H	OEt	
142	CCl ₃	Cl	H	O	H	OMe	






Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
143	CCl ₃	Cl	H	O	H	NHCH ₂ C ₆ H ₅	Sirup
144	CCl ₃	Cl	H	O	H	N(Me)(C ₆ H ₅)	
145	CCl ₃	Cl	H	O	H	NHC ₆ H ₄ -4-Cl	
146	CCl ₃	Cl	H	S	H	OEi	53-57
147	CCl ₃	Cl	H	NMe	H	ONa	Sirup
148	CCl ₃	Me	Cl	O	H	OEi	143-146
149	CCl ₃	Me	Cl	O	H	N(Me)(C ₆ H ₅)	
150	CCl ₃	Me	Cl	S	H	OEi	
151	CCl ₃	Me	Cl	S	Et	OMe	Sirup
152	CCl ₃	Me	Cl	O	H	NHCH ₂ C ₆ H ₅	
153	CCl ₃	Me	Cl	O	Et	NHCH ₂ C ₆ H ₅	
154	CCl ₃	CF ₃	H	O	Et	OEi	Sirup
155	CCl ₃	CF ₃	H	O	H	OEi	
156	CCl ₃	CF ₃	H	O	H	NHCH ₂ C ₆ H ₅	
157	CCl ₃	CF ₃	H	O	Et	NHCH ₂ C ₆ H ₅	Sirup
158	CCl ₃	CF ₃	H	O	Et	OBu	
159	CCl ₃	CF ₃	H	O	Et	N(Me)(C ₆ H ₅)	
160	CCl ₃	H	Me	O	H	OEi	Sirup
161	CCl ₃	H	Me	O	H	OMe	
162	CCl ₃	H	Me	O	H	NHCH ₂ C ₆ H ₅	
163	CCl ₃	H	Me	O	H	NHCH ₂ C ₆ H ₅ -2,4-Me ₂	Sirup
164	CCl ₃	H	Me	O	H	N(Me)(C ₆ H ₅)	
165	CCl ₃	H	Me	O	Et	N(Me)(C ₆ H ₅)	
166	CCl ₃	H	Me	O	Et	OMe	Sirup
167	CCl ₃	H	Me	O	Et	NHCH ₂ C ₆ H ₅	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
168	CCl ₃	H	Me	O	Et	NHCH ₂ —2-Furyl	
169	CCl ₃	H	Me	S	H	OEt	
170	CCl ₃	H	Me	S	Me	OEt	
171	CCl ₃	H	Me	S	Et	OMe	
172	CCl ₃	H	Me	NH	H	OEt	83–87
173	CCl ₃	H	Me	O	Me	OEt	Sirup
174	CCl ₃	ClCH ₂	H	O	H	OEt	
175	CCl ₃	ClCH ₂	H	O	H	OMe	
176	CCl ₃	ClCH ₂	H	O	Et	OEt	
177	CCl ₃	ClCH ₂	H	O	Me	OMe	
178	CCl ₃	ClCH ₂	H	O	Et	NHCH ₂ C ₆ H ₅	Sirup
179	CCl ₃	ClCH ₂	H	O	H	N(Me)(C ₆ H ₅)	49–52
180	CCl ₃	n-Pr	H	O	H	NHCH ₂ C ₆ H ₅	
181	CCl ₃	n-Pr	H	O	Et	NHCH ₂ C ₆ H ₅	
182	CCl ₃	n-Pr	H	O	Et	N(Me)(C ₆ H ₅)	
183	CCl ₃	OMe	H	O	H	OMe	
184	CCl ₃	OEt	H	O	H	OEt	
185	CCl ₃	SCH ₃	H	O	Et	NHCH ₂ C ₆ H ₅	
186	CCl ₃	NMe ₂	H	O	Et	NHCH ₂ —4-Pyridyl	
187	CCl ₃	cyclo-C ₃ H ₇	H	O	Et	NHCH ₂ C ₆ H ₅	
188	CCl ₃	cyclo-C ₃ H ₁₁	H	O	Et	NHCH ₂ C ₆ H ₅	
189	CF ₃ CF ₃	H	Cl	O	H	Et	
190	CF ₃ CF ₃	H	Cl	O	H	OMe	Sirup
191	CF ₃ CF ₃	H	Cl	O	Et	NHCH ₂ C ₆ H ₅	
192	CF ₃ CF ₃	H	Cl	S	H	OEt	

Nc.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
193	CF ₃ CHF ₂	H	Cl	O	H	OEt	
194	CF ₃ CHF ₂	H	Cl	S	H	OEt	
195	CF ₃ CHF ₂	H	Cl	S	Et	NHCH ₂ C ₆ H ₅	Sirup
196	CF ₃ CHF ₂	H	Cl	O	Et	OEt	
197	CF ₃ CHF ₂	H	Cl	O	Et	OMe	Sirup
198	CF ₃ CHF ₂	H	Cl	S	H	OEt	Sirup
199	CF ₃ CHF ₂	H	Cl	O	H	N(Me)(C ₆ H ₅)	83-84
200	CF ₃ CHF ₂	H	Cl	O	H	NMe ₂	
201	CF ₃ CHF ₂	H	Cl	O	H	NMe ₂	
202	CF ₃ CHF ₂	H	Cl	O	Et	N(Me)(C ₆ H ₅)	
203	CF ₃ CHF ₂	H	Cl	O	Et	NHCH ₂ C ₆ H ₅	69-70
204	CF ₃ CHF ₂	H	Cl	O	H	NHCH ₂ C ₆ H ₅	76-78
205	CF ₃ CHF ₂	Me	H	O	Et	NH—C ₆ H ₅	
206	CF ₃ CHF ₂	Me	H	O	Et	NHC ₆ H ₄ —4-Cl	
207	CF ₃ CHF ₂	Me	H	O	Et	NHC ₆ H ₃ —2,4-Cl ₂	
208	CF ₃ CHF ₂	Me	H	O	Et	NHC ₆ H ₃ —3,5-Cl ₂	
209	CF ₃ CHF ₂	Me	H	O	Et	NHC ₆ H ₄ —4-Me	
210	CF ₃ CHF ₂	Me	H	O	Et	NHC ₆ H ₃ —2,4-Me ₂	
211	CF ₃ CHF ₂	Me	H	O	Et	N(Me)(C ₆ H ₅)	70-71
212	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₅	99-103
213	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-Me	
214	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-Me	
215	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-Me	
216	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-Cl	
217	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-Cl	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
218	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-Cl	
219	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₃ —3,4-Cl ₂	
220	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-CF ₃	
221	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-CF ₃	
222	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-CF ₃	
223	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-Br	
224	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-Br	
225	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-OCH ₃	
226	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-OCH ₃	
227	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-OCH ₃	
228	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-NO ₂	
229	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-NO ₂	
230	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —Naphthyl	
231	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —2-Furyl	
232	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —2-Thienyl	
233	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —2-Pyridyl—3-Cl—4-CF ₃	
234	CF ₃ CHF ₂	Me	H	O	Et	NH—2-Benzimidazolyl	
235	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —3-Pyridyl	
236	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —2-Pyridyl	
237	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —4-Pyridyl	
238	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —4-Pyrimidinyl—6-Me—2-CCl ₃	
239	CF ₃ CHF ₂	Me	H	O	H	NHCH ₂ —C ₆ H ₅	
240	CF ₃ CHF ₂	Me	H	O	H	N(Me)(C ₆ H ₅)	70-71
241	CF ₃ CHF ₂	Me	H	O	H	NHC ₆ H ₅	
242	CF ₃ CHF ₂	Me	H	O	H	N(Et)(C ₆ H ₅)	




Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
243	CF ₃ CHF ₂	Me	H	O	H	OEt	
244	CF ₃ CHF ₂	Me	H	O	H	OMe	
245	CF ₃ CHF ₂	Me	H	O	H	OCH ₂ C ₆ H ₅	
246	CF ₃ CHF ₂	Me	H	O	Me	OEt	
247	CF ₃ CHF ₂	Me	H	O	Et	OEt	
248	CF ₃ CHF ₂	Me	H	O	Me	OMe	
249	CF ₃ CHF ₂	Me	H	S	H	OEt	
250	CF ₃ CHF ₂	Me	H	S	H	OMe	
251	CF ₃ CHF ₂	Me	H	S	H	OEt	
252	CF ₃ CHF ₂	Me	H	S	Et	OMe	
253	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —cyclo-C ₆ H ₁₁	
254	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ —cyclo-C ₃ H ₉	
255	CF ₃ CHF ₂	Me	H	O	Et	NEt ₃	
256	CF ₃ CHF ₂	Me	H	O	Et	NMe ₂	
257	CF ₃ CHF ₂	Me	H	?	Et	NHMe	
258	CF ₃ CHF ₂	Me	H	?	Et	NHEt	
259	CF ₃ CHF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₅	
260	CF ₃ CHF ₂	Me	H	NH	H	OEt	75–78
261	CF ₃ CHF ₂	Me	H	NH	H	OMe	
262	CF ₃ CHF ₂	Me	H	NMe	H	Na	112–116
263	CF ₃ CHF ₂	Me	H	NMe	H	H	
264	CF ₃ CHF ₂	Me	H	NH	H	NHCH ₂ C ₆ H ₅	
265	CF ₃ CHF ₂	Me	H	O	OCH ₃	OCH ₃	
266	CF ₃ CHF ₂	Me	H	O	OEt	OCH ₃	
267	CF ₃ CHF ₂	Me	H	O	OCH ₃	OEt	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
268	CF ₃ CHF ₂	Me	H	O	OCH ₃	OEt	
269	CF ₃ CHF ₂	Me	H	O	OCR ₃	NHCH ₂ C ₄ H ₉	
270	CF ₃ CHF ₂	Me	H	O	OCH ₃	N(Me)(C ₄ H ₉)	
271	CF ₃ CHF ₂	Me	H	S	Et	NHCH ₂ C ₄ H ₉	
272	CF ₃ CHF ₂	Me	H	S	Et	N(Me)(C ₄ H ₉)	
273	CF ₃ CHF ₂	Me	H	S	Et	NHCH ₂ —cyclo-C ₄ H ₁₀	
274	CF ₃ CHF ₂	Me	H	S	Et	NHC ₄ H ₉	
275	CF ₃ CHF ₂	Me	H	O	Et	OH	
276	CF ₃ CHF ₂	Me	H	O	CH ₃	OH	
277	CF ₃ CHF ₂	Me	H	O	H	OH	
278	CF ₃ CHF ₂		H	O	Et	NHCH ₂ C ₄ H ₉	
279	CF ₃ CHF ₂		H	O	Et	NHCH ₂ C ₄ H ₉	
280	CF ₃ CHF ₂		H	O	Et	NHCH ₂ C ₄ H ₉	
281	CF ₃ CHF ₂	H	H	O	OCH ₃	NHCH ₂ —2-Thienyl	
282	CF ₃ CHF ₂	H	H	O	Et	OEt	
283	CF ₃ CHF ₂	H	H	O	H	OMe	
284	CF ₃ CHF ₂	Et	H	O	Et	NHCH ₂ C ₄ H ₉	
285	CF ₃ CHF ₂	Et	H	O	Et	OEt	
286	CF ₃ CHF ₂	Et	H	O	Et	NHC ₄ H ₉	
287	CF ₃ CHF ₂		H	O	Et	NHCH ₂ C ₄ H ₉	
288	CF ₃ CHF ₂		H	O	Et	NHCH ₂ C ₄ H ₉	

77-79

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
289	CF ₃ CHF ₂	H	CH ₃	O	Et	OEt	72-76
290	CF ₃ CHF ₂	H	CH ₃	O	Et	NHCH ₂ C ₆ H ₅	
291	CF ₃ CHF ₂	H	CH ₃	O	H	OEt	
292	CF ₃ CHF ₂	H	CH ₃	O	H	OMe	
293	CF ₃ CHF ₂	Cl	H	O	H	NHCH ₂ C ₆ H ₄ -2-Cl	semikristallin 65-68 Sirup 88-89 145-148 Sirup
294	CF ₃ CHF ₂	MeO	H	S	Me	N(Et) ₂	
295	CF ₃ CHF ₂	n-Pr	H	O	Et	NHCH ₂ C ₆ H ₅	
296	CF ₃ CHF ₂	n-Pr	H	O	Et	NHC ₆ H ₅	
297	CF ₃ CHF ₂	n-Pr	H	O	Et	N(Et)(C ₆ H ₅)	semikristallin 65-68 Sirup 88-89 145-148 Sirup
298	CF ₃ CHF ₂	n-Pr	H	O	Et	N(Me)(C ₆ H ₅)	
299	CF ₃ CHF ₂	CH ₃	Cl	O	Et	NCH ₂ C ₆ H ₅	
300	CF ₃	H	Cl	O	H	OCH ₃	
301	CF ₃	H	Cl	O	CH ₃	OEt	111 118-120
302	CF ₃	H	Cl	S	H	OEt	
303	CF ₃ CHF ₂ CF ₃	H	Cl	O	Et	OEt	
304	CF ₃ CHF ₂ CF ₃	H	Cl	O	H	OMe	
305	CF ₃ CHF ₂ CF ₃	CH ₃	H	S	H	OEt	111 118-120
306	CF ₃ CHF ₂ CF ₃	CH ₃	H	NH	H	OEt	
307	CF ₃ CHF ₂ CF ₃	H	H	O	Et	NHCH ₂ C ₆ H ₅	
308	CF ₃ CHF ₂ CF ₃	H	Cl	O	Et	NHCH ₂ C ₆ H ₅	
309	(CF ₃) ₂ CF ₂	H	Cl	O	Et	OBu	111 118-120
310	(CF ₃) ₂ CF ₂	Cl	H	NH	H	OEt	
311	(CF ₃) ₂ CF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ -3-CF ₃	
312	(CF ₃) ₂ CF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ -4-CF ₃	
313	(CF ₃) ₂ CF ₂	Me	H	O	Et	NHCH ₂ C ₆ H ₄ -4-Br	

N _c	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
314	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-Br	
315	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —2-OCH ₃	
316	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-OCH ₃	
317	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-OCH ₃	
318	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —4-NO ₂	
319	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₆ H ₄ —3-NO ₂	
320	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —Naphthyl	
321	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —2-Furyl	
322	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —2-Thienyl	
323	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —2-Pyridyl—3-Cl—4-CF ₃	
324	(CF ₃) ₃ CF ₃	Me	H	O	Et	NH—2-Benzimidazolyl	
325	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —3-Pyridyl	
326	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —2-Pyridyl	
327	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —4-Pyridyl	
328	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —6-Pyrimidinyl—4-Me—2-CCl ₃	
329	(CF ₃) ₃ CF ₃	Me	H	NH	H	OEt	75–78
330	(CF ₃) ₃ CF ₃	Me	H	NH	H	OMe	
331	(CF ₃) ₃ CF ₃	Me	H	NMe	H	Na	112–116
332	(CF ₃) ₃ CF ₃	Me	H	NMe	H	H	
333	(CF ₃) ₃ CF ₃	Me	H	NH	H	NHCH ₂ C ₆ H ₅	
334	(CF ₃) ₃ CF ₃	Me	H	O	OCH ₃	OCH ₃	
335	(CF ₃) ₃ CF ₃	Me	H	O	OEt	OCH ₃	
336	(CF ₃) ₃ CF ₃	Me	H	O	OCH ₃	OEt	
337	(CF ₃) ₃ CF ₃	Me	H	O	OCH ₃	OEt	
338	(CF ₃) ₃ CF ₃	Me	H	O	OCH ₃	NHCH ₂ C ₆ H ₅	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
339	(CF ₃) ₂ CF ₃	Me	H	O	OCH ₃	N(Me)XC ₆ H ₅	
340	(CF ₃) ₂ CF ₃	Me	H	S	Et	NHCH ₂ C ₆ H ₅	
341	(CF ₃) ₂ CF ₃	Me	H	S	Et	N(Me)XC ₆ H ₅	
342	(CF ₃) ₂ CF ₃	Me	H	S	Et	NHCH ₂ —cyclo-C ₄ H ₁₁	
343	(CF ₃) ₂ CF ₃	Me	H	S	Et	NHC ₆ H ₅	
344	(CF ₃) ₂ CF ₃	Me	H	O	Et	OH	
345	(CF ₃) ₂ CF ₃	Me	H	O	CH ₃	OH	
346	(CF ₃) ₂ CF ₃	Me	H	O	H	OH	
347	(CF ₃) ₂ CF ₃		H	O	Et	NHCH ₂ C ₆ H ₅	
348	(CF ₃) ₂ CF ₃		H	O	Et	NHCH ₂ C ₆ H ₅	
349	(CF ₃) ₂ CF ₃		H	O	Et	NHCH ₂ C ₆ H ₅	
350	(CF ₃) ₂ CF ₃	Me	H	O	H	NHCH ₂ —C ₆ H ₅	
351	(CF ₃) ₂ CF ₃	Me	H	O	H	N(Me)XC ₆ H ₅	
352	(CF ₃) ₂ CF ₃	Me	H	O	H	NHC ₆ H ₅	
353	(CF ₃) ₂ CF ₃	Me	H	O	H	N(E)XC ₆ H ₅	
354	(CF ₃) ₂ CF ₃	Me	H	O	H	OEi	
355	(CF ₃) ₂ CF ₃	Me	H	O	H	OMe	
356	(CF ₃) ₂ CF ₃	Me	H	O	H	OCH ₂ C ₆ H ₅	
357	(CF ₃) ₂ CF ₃	Me	H	O	Me	OEi	
358	(CF ₃) ₂ CF ₃	Me	H	O	Et	OEi	
359	(CF ₃) ₂ CF ₃	Me	H	O	Me	OMe	
360	(CF ₃) ₂ CF ₃	Me	H	S	H	OEi	
361	(CF ₃) ₂ CF ₃	Me	H	S	H	OMe	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
362	(CF ₃) ₃ CF ₃	Me	H	S	Et	OBt	
363	(CF ₃) ₃ CF ₃	Me	H	S	Et	OMe	
364	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —cyclo-C ₄ H ₁₁	
365	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ —cyclo-C ₄ H ₁₁	
366	(CF ₃) ₃ CF ₃	Me	H	O	Et	NEt ₃	
367	(CF ₃) ₃ CF ₃	Me	H	O	Et	NMe ₂	
368	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHMe	
369	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHEt	
370	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉	
371	(CF ₃) ₃ CF ₃	Me	H	O	Et	NH—C ₆ H ₅	
372	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHC ₄ H ₉ —4-Cl	
373	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHC ₄ H ₉ —2,4-Cl ₂	
374	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHC ₄ H ₉ —3,5-Cl ₂	
375	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHC ₄ H ₉ —4-Me	
376	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHC ₄ H ₉ —2,4-Me ₂	
377	(CF ₃) ₃ CF ₃	Me	H	O	Et	N(Me)(C ₄ H ₉)	
378	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉	
379	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —4-Me	
380	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —4-Me	
381	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —3-Me	
382	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —2-Cl	
383	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —4-Cl	
384	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —3-Cl	
385	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —3,4-Cl ₂	
386	(CF ₃) ₃ CF ₃	Me	H	O	Et	NHCH ₂ C ₄ H ₉ —2-CF ₃	

Nr.	R ¹	R ²	R ³	X	R ⁴	Y	Smp. [°C]
387	CCl ₃	H	H	NH	Et	OEi	
388	CCl ₃	H	H	NH	CH ₃	OH	
389	CCl ₃	H	H	NH	CH ₃	ONa	
390	CCl ₃	H	H	NMe	H	OEi	
391	CCl ₃	H	H	NMe	H	ONa	Sirup
392	CCl ₃	H	H	NMe	H	OH	

Biological examples

The damage of the weeds plants and/or the cultivated plant compatibility was rated in accordance with a key, in which the effectiveness is expressed by value numbers of 0-5. Means:

- 0= without effect and/or damage
- 1 = 0-20% effect and/or damage
- 2= 20-40% effect and/or damage
- 3= 40-60% effect and/or damage
- 4 = 60-80% effect and/or damage
- 5= 80-100% effect and/or damage

1. Weeds effect in the Voraufbau

Seeds and/or Rhizome cuts of mono and dikotylen weeds plants were laid out in plastic pots in sandy loam earth and covered with earth. In the form of wettable powders or emulsion concentrates invention in accordance with-eaten compounds formulated as aqueous suspensions and/or emulsions with a water expenditure quantity of converted 600-800 l/ha into different dosages to the surface of the taking off earth were then applied. After the treatment the pots in the greenhouse were set up and held on good growth conditions for weeds. The optical rating of the planting and/or of the accumulating damage took place after accumulating the experiment plants after an experiment time from 3-4 weeks compared with untreated controls.

How the rating value points to table 2, the compounds according to invention a Voraufbau effectiveness exhibit good herbicides against a broad spectrum of grasses and weeds.

Table 2

Effect of the compounds according to invention in the Voraufbau

Verbind. gem. Bsp.	Dosis (kg a.i./ha)	herbizide Wirkung STM	SIA	LOM	ECG
8	2,5	5	5	5	5
10	2,5	5	5	5	5
307	2,5	3	5	3	5
84	2,5	5	5	5	5
132	2,5	5	5	4	4
119	2,5	5	5	5	5
128	2,5	5	5	2	3
90	2,5	5	5	5	3
300	2,5	4	4	1	4
121	2,5	5	5	1	1
302	2,5	4	5	3	4
95	2,5	5	5	2	2
124	2,5	5	3	2	4

Abbreviations:

STM = Stellaria media SIA = Sinapis alba LOM = Lolium multiflorum ECG = Echinochloa crus galli

2. Weeds effect in Nachauflauf

Seeds and/or Rhizomstücke of mono and dikotylen weeds were laid out in plastic pots in sandy loamy soil, covered with earth and tightened in the greenhouse on good growth conditions. Three weeks after the sowing were treated the experiment plants in the three-leaf stage.

As wettable more powder and/or than emulsion concentrate formulated compounds according to invention into different dosages with a water expenditure quantity of converted 600-800 l/hectars were sprayed on the green plant parts and after approximately 3-4 weeks service life of the experiment plants in the greenhouse on optimal growth conditions the effect of the preparations optically compared with untreated controls bonitiert.

The means according to invention point also in the Nachauflauf good herbicides effectiveness toward a broad spectrum economically important grasses and weeds to (table 3).

Table 3

Effect of the compounds according to invention in Nachauflauf

Verbind. gem. Bsp.	Dosis (kg a.i./ha)	herbizide Wirkung		LOM	ECG
		STM	SIA		
140	2,5	5	5	3	3
35	2,5	3	4	3	3
8	2,5	4	5	3	4
10	2,5	4	5	3	4
307	2,5	3	5	2	4
84	2,5	3	4	2	5
132	2,5	4	5	1	1
197	2,5	3	3	1	4
304	2,5	5	5	2	4
119	2,5	4	5	1	4
128	2,5	5	5	2	3
161	2,5	4	5	2	3
90	2,5	5	4	1	4
300	2,5	5	3	2	2
121	2,5	4	5	1	1
302	2,5	4	5	2	2

3. Cultivated plant compatibility

In further experiments in the greenhouse seeds of a larger number of cultivated plants and weeds in sandy loamy soil were laid out and covered with earth. A part of the pots was immediately set up as under 1. described treated, the remaining in the greenhouse, until the plants had developed two to three genuine leaves and then with the substances according to invention in different dosages, as under 2. described, sprayed.

Four to five weeks after application and service life in the greenhouse by means of optical rating it was stated that the compounds according to invention left zweikeimblättrige cultures ungeschädigt with high active substance dosages such as z, B. Soja, Baumwolle, Raps, Zuckerrüben and Kartoffeln in the pre and Nachauflauf procedure. Some substances preserved beyond that also Gramineen cultures like e.g.

Barley, wheat, rye, Sorghum Hirsens, corn or rice. The compounds of the formula I exhibit thus a high selectivity with application for combating against unwanted plant stature in agricultural cultures.

4. Herbicides effect with application in rice

Tubers and Rhizome and/or young plants or seeds of different rice weeds such as Cyperus species, Eleocharis, Scirpus and Echinochloa were laid out and/or planted in closed plastic pots into special rice earth and dammed up with water up to a height of 1 cm over the soil. Likewise with rice plants one proceeded.

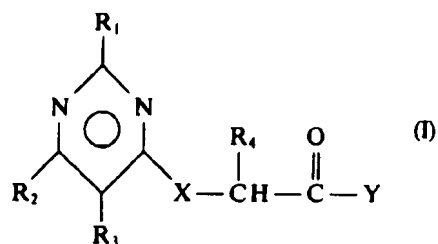
In the Vorauflauf method, i.e. 3-4 days after transplanting were poured the compounds according to invention in form of aqueous suspensions or emulsions in the damming up water or scattered as granulates in the water.

In each case three weeks later the herbicides effect and a possible side-effect were rated opposite rice optically. The results showed that the compounds according to invention to the selective weed control are suitable.

Opposite past rice herbicides the compounds according to invention are characterized by it. the fact that it numerous, in particular also with difficulty fightable weeds, which germinate from continuous organs, fight effectively and by rice is tolerated.

Patent claims

1. Compounds of the formula I or their salts,



where

R_1 is (C1-C8)-Haloalkyl;

R_2 is hydrogen, halogen, Cyano, (C1-C4) - alkyl, one or repeated by halogen, Nitro, cyanogen, (C1-C4) - Alkoxy, (C1 - C4) - Alkylthio or $-NR_5R_6$ to be substituted can; (C2-C4) - alkenyl, (C2-C4) - Alkynyl, (C1-C4) - Alkoxy, (C1-C4) - Alkylthio, (C1-C4) - alkyl sulphonyl, (C3-C8-Cycloalkyl, which through (C1-C4) - alkyl can be one or several times substituted; Phenoxy, that if necessary is one or several times by halogen, NO_2 (C1-C4-Alkyl, (C1 - C4) - halo alkyl or (C1 - C4) - Alkoxy;

R_3 is hydrogen, (C1-C4) - alkyl, (C1-C4) - Alkoxy, halogen or Cyano;

R_4 is hydrogen, (C1 - C4) - alkyl or (C1-C4) - Alkoxy;

XO , S or NR_5 ;

Y is a radical $-NR_5R_6$ or $-OR_8$;

R_5 is hydrogen or (C1-C4) - alkyl;

R_6 is (C1 - C4) - alkyl, CH_2R_7 , Phenyl or Naphthyl, which both if necessary can be substituted one or several times by halogen, NO_2 , (C1 - C4) - alkyl, (C1 - C4) - halo alkyl, (C1 - C4) - Alkoxy, Cyano or (C1-C4) - Alkylthio;

R_7 is Phenyl, that if necessary in or several times by halogen, NO_2 , (C1-C4) - alkyl, (C1-C4) - halo alkyl, (C1-C4) cAlkoxy, (C1-C4) - Alkylthio or Cyano is substituted, (C3-C8) - Cycloalkyl, which if necessary can be substituted one or several times through (C1-C4) - alkyl, Furyl, Thienyl, Pyridyl or Pyrimidinyl, whereby these radicals if necessary can be substituted in each case by halogen, (C1-C4) - halo alkyl or (C1-C4) -; and

R_8 is hydrogen or (C1-C4) - alkyl

with condition that those compounds of the formal, where g 1 CF_3 , X oxygen and R_6 CH_2R_7 are excluded.

2. Compounds of the formula I of claim 1, where

R1 is (C1-C8) - halo alkyl, in particular the radicals - CCB, - CF2CHF2 or - CF2CF3; R2 (C1-C4) - alkyl, (C1-C4) - halo alkyl or (C3-C8) - Cycloalkyl;

R3 is hydrogen, (C, - C4) - alkyl or halogen;

R4 is hydrogen, (C1-C4) - alkyl or (C1 - C4) - Alkoxy; XO or S;

Y is NR5R6 or OR8;

R5 is hydrogen, (C1-C4) - alkyl;

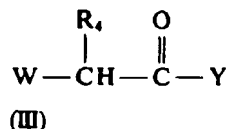
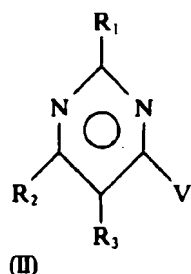
R6 is - CH2R7, Phenyl, that if necessary can be substituted in one or several times by halogen, NO2, (C1-C4) - alkyl, (C1-C4) - halo alkyl, (C1 - C4) - Alkoxy or (C1 - C4) - Alkylthio;

R7 is Phenyl, that if necessary can be substituted in one or several times by halogen, NO2, (C1 - C4) - alkyl, (C1-C4) - halo alkyl, (C1-C4) - Alkoxy, (C1-C4) - Alkylthio or Cyano ;

R8 is hydrogen or (C1-C4) - alkyl

with condition that those compounds of the formula I, where g 1 CF3, X oxygen and R6 CH2R7 are excluded.

3. Procedure for the production of the compounds of the formula I of claim 1 or 2, by the fact characterized that one a compound of the formula II in presence of a base with a compound



the formula converts to III.

4. Herbicides means, by the fact characterized that they contain a compound of the formula I of claim 1 or 2 or their salts beside usual carrier materials.

5. Use of compounds of the formula I of claim 1 or 2 or their salts as herbicides.

6. Procedures for combating weeds, by the fact characterized that one applies on these or the culture soils an effective quantity of a compound of the formula I of claim 1 or 2 or their salts.